

# PATENT COOPERATION TREATY

From the  
INTERNATIONAL SEARCHING AUTHORITY

To:

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## PCT

WRITTEN OPINION OF THE  
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

Date of mailing  
(day/month/year) see Form PCT/ISA/210 (sheet 2)

Applicant's or agent's file reference  
see Form PCT/ISA/220

**FOR FURTHER ACTION**  
See paragraph 2 below

International application No.  
PCT/EP2005/000361

International filing date (day/month/year)  
15.01.2005

Priority date (day/month/year)  
26.01.2004

International Patent Classification (IPC) or both national classification and IPC  
C07C253/20, C07C253/22, C07C291/10, C07C255/50

Applicant  
CLARIANT GMBH

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

### 2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires earlier.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

#### Name and mailing address of the ISA



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Box No. I. Basis of this opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.  
☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
  - a. type of material  
☐ a sequence listing  
☐ table(s) related to the sequence listing
  - b. format of material  
☐ in written format  
☐ in computer readable form
  - c. time of filing/furnishing  
☐ contained in the international application as filed.  
☐ filed together with the international application in computer readable form.  
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

Statement

Novelty	Yes:	Claims	1-9
	No:	Claims	
Inventive Step	Yes:	Claims	1-9
	No:	Claims	
Industrial Applicability	Yes:	Claims	1-9
	No:	Claims	

2. Citations and explanations  
see Supplementary sheet

Reference is made to the following documents:

- D1 J. MARCH: "Advanced Organic Chemistry, second edition" 1977,  
MCGRAW-HILL INTERNATIONAL BOOK COMPANY, AUCKLAND, pages  
963-964
- D2 US-A-2 200 734
- D3 ANGEWANDTE CHEMIE vol. 92, 2, 1980, pages 129-130

**V. Reasoned statement with regard to novelty, inventive step and industrial applicability**

**Novelty**

The present application relates to a process for preparing a) nitriles of the formula R-CN and b) isonitriles of the formula R-NC by reacting

a) carboxamides, ammonium salts of carboxylic acids or carboxylic acids in the presence of ammonia or ammonium salts

or

b) formamides or mixtures of amines with formic acid,

with cyclic phosphonic anhydrides with elimination of water at a temperature in the range from -30 to +120°C.

None of the present documents describes a process for preparing nitriles or isonitriles in the presence of cyclic phosphonic anhydrides. Claim 1 and dependent claims 2-9 therefore appear to meet the requirement of PCT Article 33(2).

**Inventive step**

The preparation of nitriles or isonitriles by dehydrating carboxamides, ammonium salts of carboxylic acids or carboxylic acids in the presence of ammonia or ammonium salts, or formamides or mixtures of amines with formic acid, are known reactions; on this subject, see the documents D1 and D2, or pages 1 and 2 of the application. These reactions are carried out in a wide variety of different dehydrating agents, for example P<sub>2</sub>O<sub>5</sub>, POCl<sub>3</sub>, etc., or else with the aid of dicyclohexylcarbodiimide (DCC). A disadvantage of these processes is that there are often undesired side reactions, for example epimerizations or reactions with other moieties, or subsequent products occur which are difficult or complicated to remove, for example in the case of reaction with DCC.

The problem addressed by the application can therefore be considered to be that of providing an improved process for preparing nitriles or isonitriles by which the desired products can be obtained with high selectivity.

The problem has been solved by the use of cyclic phosphonic anhydrides as dehydrating reagents at a temperature of from -30 to +120°C.

Cyclic phosphonic anhydrides are known as conveniently usable and highly selective reagents for selective amide formation; see, for example, D3 or page 1 of the description. However, it cannot be concluded from these facts that cyclic phosphonic anhydrides would also be suitable as highly selective dehydrating reagents of carboxamides, ammonium salts or carboxylic acids, carboxylic acids in the presence of ammonia or ammonium salts, formamides or mixtures of amines with formic acid to prepare nitriles or isonitriles. A person skilled in the art faced with the problem of preparing nitriles and isonitriles with high selectivity would probably not consider documents which are concerned with the condensation of amino acids to solve the problem. Thus, none of the documents available renders the use of cyclic phosphonic anhydrides in the preparation of nitriles or isonitriles obvious to a person skilled in the art.

The subject matter of claims 1-9 therefore appears to involve an inventive step (PCT Article 33(3)).

### **Industrial applicability**

There are no objections in relation to industrial applicability.

### **Further remarks:**

Documents which reflect the prior art described on page 1 (for example D1 and D3) had not been cited in the description (PCT Rule 5.1 a) ii)).

Expressions which have been introduced with "preferentially", "preferably", "in particular" or similar expressions (see claims 3 and 6) do not restrict the subject matter of a claim. These claims therefore do not meet the requirement for clarity (PCT Article 6). However, it is possible to make preferred features the subject matter of dependent claims.